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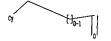
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

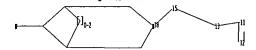
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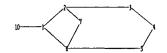
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=>
Uploading C:\Program Files\Stnexp\Queries\10537851.str







chain nodes :
10 11 12 13 15 18

ring nodes:

1 2 3 4 5 6 7 chain bonds:

1-10 11-13 11-12 13-15 15-18

ring bonds :

1-2 1-6 2-3 2-7 3-4 4-5 5-6 6-7

exact/norm bonds :

1-2 1-6 1-10 2-3 2-7 3-4 4-5 5-6 6-7 11-12 15-18

exact bonds : 11-13 13-15

isolated ring systems :

containing 1:

G1:0,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 10:CLASS 11:CLASS

12:CLASS 13:CLASS 15:CLASS 18:Atom

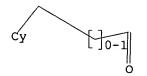
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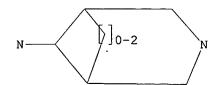
18:

Saturation : Unsaturated

## L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR





G1 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 09:58:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 213 TO ITERATE

100.0% PROCESSED · 213 ITERATIONS

10 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

3385 TO 5135

PROJECTED ANSWERS:

11 TO 389

L2 10 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 09:58:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4718 TO ITERATE

100.0% PROCESSED 4718 ITERATIONS

347 ANSWERS

SEARCH TIME: 00.00.01

L3 347 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

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FULL ESTIMATED COST 166.94 167.15

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http://www.cas.org/infopolicy.html

=> s 13 full

18 L3 L4

=> d ibib abs hitstr tot

ANSWER 1 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:631040 CAPLUS

DOCUMENT NUMBER: 145:103704

TITLE: Preparation of 3-(heterocyclylamino)pyrazole

derivatives useful as anticancer agents

INVENTOR(S): Bhattacharya, Samit Kumar; Pan, Gonghua; Wishka, Donn

Gregory

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	PATENT NO.					D	DATE		i	APPL	ICAT:		DATE				
WO	2006	0676	14		A2 20060629				WO 2	005-		20051214					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ, NA, NG,				NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	zw											
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŬĠ,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM										
RITY	APP	LN.	INFO	.:					1	US 2	004-	6391	75P		P 2	0041	223

PRIO GI

IT 896463-41-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

methyl-1H-pyrazol-3-yl)amino]pyrido[2,3-d]pyrimidin-2-yl]-3-

azabicyclo[3.1.0]hex-1-yl]amide (II).

(preparation of 3-(heterocyclylamino)pyrazole derivs. useful as Aurora kinase inhibitors and anticancer agents)

RN 896463-41-5 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-[4-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]thieno[3,2-d]pyrimidin-2-yl]-3-(phenylacetyl)-, (1R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:511214 CAPLUS

DOCUMENT NUMBER:

145:8186

TITLE:

Preparation of pyrido[2,3-d]pyrimidines as

anti-inflammatory agents

INVENTOR(S):

Palle, Venkata P.; Singh, Rakesh Kumar; Malhotra,

Sanjay; Waman, Yogesh Bhaskarrao; Verma, Ashwani; Ray,

Abhijit; Sharma, Geeta

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 105 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIND DATE				i	APPL:	ICAT		DATE						
WO 2006	0568	63		A1		2006	0601	WO 2005-IB3523						20051123				
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	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
	GE, GH, GM,						IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,		
	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
	MZ, NA, NG,						OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
	SG, SK, SL,					ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
	VN,	YU,	ZA,	ZM,	zw													
RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
	KG,	KZ,	MD,	RU,	ТJ,	TM												
PRIORITY APP	LN.					US 2004-630517P						P 20041123						
OTHER SOURCE		MAR	PAT	145:	8186													
GI																		

AB Title compds. I [R1 = alk(en/yn)yl, cycloalkyl, hetero/aryl, etc.; when X = O, S, R2 is defined as R1; when X = NH, N-acyl, N(CN), N(NO2), CH2 and derivs., CH(NO2), R2 = OH, alkoxy, aryloxy, CHO, CN, etc.; R3 = H,

alk(en/yn)yl, cycloalkyl, hetero/aryl, etc.; R4 = 3-azabicyclo[3.1.0]hex-6-yl, 3-oxa-7-azabicyclo[3.3.1]non-9-yl, 9-azabicyclo[3.3.1]non-3-yl, etc.; and pharmaceutically acceptable salts or solvates, esters, enantiomers, diastereomers, polymorphs, N-oxides, and metabolites thereof] were prepared as anti-inflammatory agents. For example, azabicyclo derivative II was prepared

by reacting  $6-(2-\text{chlorophenyl})-8-\text{methyl}-2-\text{methylsulfonyl}-8H-pyrido[2,3-d]pyrimidin-7-one (preparation given) with (3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)amine. The IC50 values for TNF-<math>\alpha$  release from peripheral blood mononuclear cells for selected pyridopyrimidines I were found to range from abut 2.3  $\mu\text{M}$  to about 12 nM. I showed p38 inhibitory activity in a range of from about 10  $\mu\text{M}$  to about 25 nM. Thus, I and their pharmaceutical compns. are useful for the prevention or treatment of inflammation and associated pathologies including inflammatory and autoimmune diseases such as sepsis, rheumatoid arthritis, inflammatory bowel disease, type-1 diabetes, asthma, chronic obstructive pulmonary disorder, organ transplant rejection and psoriasis.

IT 888493-38-7P, [4-[[[6-([6-(2-Chlorophenyl)-8-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]amino]phenyl]acetic acid methyl ester RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of pyrido[2,3-d]pyrimidines as anti-inflammatory agents)

RN 888493-38-7 CAPLUS

CN Benzeneacetic acid, 4-[[[6-[[6-(2-chloropheny1)-7,8-dihydro-8-methyl-7-oxopyrido[2,3-d]pyrimidin-2-yl]amino]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Me 
$$CH_2-C-OMe$$
 $CH_2-C-OMe$ 
 $CH_2$ 

IT 888493-34-3P, [2-[(3-Benzyl-3-azabicyclo[3.1.0]hex-6-yl)amino]-6-(2-chlorophenyl)-7-oxo-7H-pyrido[2,3-d]pyrimidin-8-yl]acetic acid ethyl ester 888493-35-4P, [2-[(3-Benzyl-3-azabicyclo[3.1.0]hex-6-yl)amino]-6-(2-chlorophenyl)-7-oxo-7H-pyrido[2,3-d]pyrimidin-8-yl]acetic acid 888493-37-6P, 2-[2-[(3-Benzyl-3-azabicyclo[3.1.0]hex-6-yl)amino]-6-(2-chlorophenyl)-7-oxo-7H-pyrido[2,3-d]pyrimidin-8-yl]acetamide 888493-39-8P, [4-[[[6-[[6-(2-Chlorophenyl)-8-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]amino]phenyl]acetic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrido[2,3-d]pyrimidines as anti-inflammatory agents)

RN 888493-34-3 CAPLUS

RN 888493-35-4 CAPLUS

CN Pyrido[2,3-d]pyrimidine-8(7H)-acetic acid, 6-(2-chlorophenyl)-7-oxo-2-[[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]- (9CI) (CA INDEX NAME)

RN 888493-37-6 CAPLUS

CN Pyrido[2,3-d]pyrimidine-8(7H)-acetamide, 6-(2-chlorophenyl)-7-oxo-2-[[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & H_2N-C-CH_2 \\
 & O \\
 & N \\
 & N$$

RN 888493-39-8 CAPLUS

CN Benzeneacetic acid, 4-[[[6-[[6-(2-chlorophenyl)-7,8-dihydro-8-methyl-7-oxopyrido[2,3-d]pyrimidin-2-yl]amino]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:494402 CAPLUS

DOCUMENT NUMBER:

145:8042

TITLE:

Azabicyclic compounds as muscarinic receptor

antagonists and their preparation, pharmaceutical compositions and use for treatment of disease of the respiratory, urinary and gastrointestinal systems Kumar, Naresh; Salman, Mohammad; Kaur, Kirandeep; Mehta, Anita; Arora, Sudershan K.; Chugh, Anita

INVENTOR(S):

Ranbaxy Laboratories Limited, India

PATENT ASSIGNEE(S):

PCT Int. Appl., 87 pp.

SOURCE: PCT Int.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

GΙ

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	CENT				KIN	D :	DATE		j		ICAT:		DATE				
WO		0541			A1 20060526			,		005-							
WO	2006	0541	62		C1		2006	0720									
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	ΚP,	KR,
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŬĠ,	US,	UΖ,	VC,
		VN,	YU,	ZA,	ZM,	zw											•
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		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
	1	KG,	ΚZ,	MD,	RU,	TJ,	TM										
PRIORIT	RIORITY APPLN. INFO.:									IN 2	004-	DE23	31	A 20041119			119
OTHER SO	OTHER SOURCE(S):						Т 14	5:80	42; 1	MARP	AT 1						

$$\begin{array}{c|c}
R & (CH_2)_{T} \\
X & & & \\
X & & \\
X & & & \\$$

The invention generally relates to compds. of formula I as muscarinic receptor antagonists, which are useful for treating various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to processes for preparing compds. described herein, pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. Compds. of formula I wherein Ar is aryl, cycloalkyl, (hetero)aralkyl, or heterocyclyl(alkyl); X is alkyl, alkenyl, alkynyl, cycloalkyl, (hetero)aryl, (hetero)arylalkyl, or heterocyclylalkyl; R is H, OH, alkoxy, aryloxy, hydroxyalkyl, NH2 and derivs., halo, alkyl, alkenyl, alkynyl, cycloalkyl, or aryl; Y is CO, CS, C(=Nacyl), C(=NNO2), C(=CHNO2), (un)substituted C=CH2, or CH2; T is (CH2)m, CQCH2, CHQ, or CH2OCH2; R1 is

H, OH, alkoxy, hydroxyalkyl, aryloxy, CHO, CN, alkyl, alkenyl, alkynyl, cycloalkyl, carboxy, halo, (hetero)aryl(alkyl), acyl, heterocyclyl(alkyl), (CH2) kNH2 and derivs., SO2R2, CO2R3, CONH2 and derivs., NH2 and derivs., OCONH2 and derivs., or NHCHO and derivs.; n is an integer from 0-2; m is an integer from 0-3; k is an integer from 1-4; Q is alkyl, alkenyl, alkynyl, cycloalkyl, (hetero)aryl(alkyl), or heterocyclyl(alkyl); R2 is alkyl, alkenyl, alkynyl, cycloalkyl, NH2 and derivs., (hetero)aryl(alkyl), or (heterocyclyl)alkyl; R3 is alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroaryl alkyl or heterocyclylalkyl; and their pharmaceutically acceptable salts, solvates stereoisomers, and polymorphs and their process for preparation is claimed. Example compound II was prepared by hydrolysis of tert-butyl-benzyl-[3-[cyclopentyl(hydroxy)phenylacetyl]-3azabicyclo[3.2.0]hex-6-yl]-carbamate. All the invention compds. were evaluated for their muscarinic receptor binding affinity. From the assay, it was determined that the example compds. exhibited pKi values for M2 from about 5 to about 8.5, from about 5 to about 7.5, and from 5 to 7.1. For M3, the tested compds. exhibited pKi values from about 6 to 8.5, from about 6.7.7, and from about 5 to 6.9.

about 6.7.7, and from about 5 to 6.9.

1T 888031-90-1P 888031-91-2P 888031-92-3P 888031-94-5P 888031-96-7P 888031-97-8P 888031-98-9P 888032-01-7P 888032-04-0P 888032-06-2P 888032-08-4P 888032-10-8P 888032-12-0P 888032-14-2P 888032-15-3P 888032-16-4P 888032-18-6P 888032-21-1P 888032-24-4P 888032-25-5P 888032-26-6P 888032-29-9P 888032-30-2P 888032-31-3P

888032-38-0P 888032-39-1P 888032-40-4P 888032-42-6P 888032-43-7P 888032-44-8P

888032-46-0P 888032-48-2P 888218-36-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azabicyclic compds. as muscarinic receptor antagonists useful for treatment of disease of the respiratory, urinary and gastrointestinal systems)

RN 888031-90-1 CAPLUS

CN

3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclopentylhydroxyphenylacetyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 888031-91-2 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclohexylhydroxyphenylacetyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 888031-92-3 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[cyclopentylhydroxy(4methylphenyl)acetyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{H}_2\text{N} \\ \text{OH} \end{array}$$

RN 888031-94-5 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 3-(cyclohexylhydroxyphenylacetyl)-6-(2-propenylamino)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 888031-93-4 CMF C22 H30 N2 O2

$$\mathbf{H}_{2}\mathbf{C} = \mathbf{C}\mathbf{H} - \mathbf{C}\mathbf{H}_{2} - \mathbf{N}\mathbf{H} - \mathbf{C} - \mathbf{C} - \mathbf{C}$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 888031-96-7 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 3-[cyclohexylhydroxy(4-methylphenyl)acetyl]-6-(2-propenylamino)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 888031-95-6 CMF C23 H32 N2 O2

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 888031-97-8 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[cyclopentylhydroxy(4-methylphenyl)acetyl]-N-2-propenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{H}_2\text{C} = \text{CH} - \text{CH}_2 - \text{NH} \\ \end{array} \begin{array}{c} \text{N} - \text{C} \\ \text{OH} \\ \end{array}$$

RN 888031-98-9 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclopentylhydroxyphenylacetyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

RN 888032-01-7 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 3-[cyclopentyl(4-fluorophenyl)hydroxyacetyl]-6-(2-propenylamino)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 888032-00-6 CMF C21 H27 F N2 O2

$$H_2C = CH - CH_2 - NH - C - C - C - OH$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 888032-04-0 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 3-(2-hydroxy-3-methyl-1-oxo-2-phenylbutyl)-6-(2-propenylamino)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA\_INDEX\_NAME)

CM 1

CRN 888032-03-9 CMF C19 H26 N2 O2

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 888032-06-2 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclopentylhydroxyphenylacetyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OOH} \\ \parallel & \parallel \\ \text{Me}_2\text{N} & \text{C-C} \\ & \parallel \\ \text{Ph} \end{array}$$

RN 888032-08-4 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclobutylhydroxyphenylacetyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 888032-10-8 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[cyclohexylhydroxy(4-methylphenyl)acetyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 888032-12-0 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[cyclohexyl(4-fluorophenyl)hydroxyacetyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 888032-14-2 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(4-fluorophenyl)-2-hydroxy-3-methyl-1-oxobutyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 888032-15-3 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[cyclopentylhydroxy(4-methoxyphenyl)acetyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 888032-16-4 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclohexylhydroxyphenylacetyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 888032-18-6 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclopentylhydroxy-2-thienylacetyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 888032-21-1 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(4-fluorophenyl)-2-hydroxy-3-methyl-1-oxobutyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & O & OH \\ & &$$

RN 888032-24-4 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclopentylhydroxyphenylacetyl)-(9CI) (CA INDEX NAME)

RN 888032-25-5 CAPLUS

CN Carbamic acid, [3-(cyclopentylhydroxyphenylacetyl)-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 888032-26-6 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(hydroxydiphenylacetyl)- (9CI) (CA INDEX NAME)

RN 888032-29-9 CAPLUS

CN Carbamic acid, [3-(cyclopentylhydroxyphenylacetyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Me & & O & OH \\ \parallel & \parallel & \parallel & \\ t-BuO-C-N & & & \parallel & \parallel \\ \end{array}$$

RN 888032-30-2 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclopentylhydroxyphenylacetyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 888032-31-3 CAPLUS

CN Carbamic acid, [3-(hydroxydiphenylacetyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 888032-38-0 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclopentylhydroxy-2-thienylacetyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 888032-39-1 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(hydroxyphenyl-2-thienylacetyl)- (9CI) (CA INDEX NAME)

RN 888032-40-4 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclohexylhydroxyphenylacetyl)-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & O & OH \\ & &$$

RN 888032-42-6 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclopentylhydroxyphenylacetyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 888032-43-7 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-(cyclohexylhydroxyphenylacetyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 888032-44-8 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-methyl-3-(3,3,3-trifluoro-2-hydroxy-1-oxo-2-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 888032-46-0 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, N-methyl-3-[3,3,3-trifluoro-2-hydroxy-2-(4-methylphenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

RN 888032-48-2 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 3-(cyclopentylhydroxyphenylacetyl)-6-(methylamino)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 888032-30-2 CMF C19 H26 N2 O2

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CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 888218-36-8 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 3-(cyclopentylhydroxyphenylacetyl)-6-(methylamino)-, stereoisomer, stereoisomer of 2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 888218-35-7

CMF C19 H26 N2 O2

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

IT 888032-54-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azabicyclic compds. as muscarinic receptor antagonists useful for treatment of disease of the respiratory, urinary and gastrointestinal systems)

RN 888032-54-0 CAPLUS

CN Carbamic acid, [3-(cyclobutylhydroxyphenylacetyl)-3-azabicyclo[3.1.0]hex-6-yl]propyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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O Ph
t-BuO-C | | | |
n-Pr-N N-C-C-OH
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REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:295302 CAPLUS

DOCUMENT NUMBER: 144:350723

TITLE: Preparation of phenyl-substituted amine diols and

related compounds as muscarinic receptor antagonists

for treating diseases such as those of the

respiratory, urinary and gastrointestinal systems Salman, Mohammad; Sarma, Pakala Kumara Savithru;

Dharmarajan, Sankaranarayanan; Chugh, Anita; Gupta,

Suman

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PA'	<b>TENT</b>	NO.			KIN	D	DATE			APPL	ICAT	ION :		DATE			
	2006 2006			A2 A3		 2006 2006		1	WO 2	005-		20050923					
wo	W:	AE, CN, GE, LC, NA,	AG, CO, GH, LK, NG,	AL, CR, GM, LR, NI,	AM, CU, HR, LS, NO,	AT, CZ, HU, LT, NZ,	AU, DE, ID, LU, OM, TM,	AZ, DK, IL, LV, PG,	DM, IN, LY, PH,	DZ, IS, MA, PL,	EC, JP, MD, PT,	EE, KE, MG, RO,	EG, KG, MK, RU,	ES, KM, MN, SC,	FI, KP, MW, SD,	GB, KR, MX, SE,	GD, KZ, MZ, SG,
	RW:	YU, AT, IS, CF, GM,	ZA, BE, IT, CG, KE,	ZM, BG, LT, CI,	ZW CH, LU, CM, MW,	CY, LV, GA, MZ,	CZ, MC, GN, NA,	DE, NL, GQ,	DK, PL, GW,	EE, PT, ML,	ES, RO, MR,	FI, SE, NE,	FR, SI, SN,	GB, SK, TD,	GR, TR, TG,	HU, BF, BW,	IE, BJ, GH,

PRIORITY APPLN. INFO.: US 2004-613001P P 20040924 OTHER SOURCE(S): CASREACT 144:350723; MARPAT 144:350723

This present invention generally relates to muscarinic receptor antagonists (PhC(X)(OH)C(:G)CH2N(R1)(R2) (I) or PhC(X)(OH)C(:G)CH2N(R1)(R2) (II); variables defined below; e.g. 1-cyclopentyl-3-([1,4]diazepan-1-yl)-1-hydroxy-1-phenylpropan-2-one), which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. For I and II: X = alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, heterocyclylalkyl, or heteroarylalkyl; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, aryloxy, -(CH2)0-2-heterocyclylalkyl, or -(CH2)0-2-heteroarylalkyl; R2 = -(CH2)0-2-heteroaryl, -(CH2)0-2-heterocyclyl, or -(CH2)0-2-aryl, or R1 and R2 may together combine to form

a (un)saturated monocyclic or bicyclic ring system containing 0-4 heteroatoms

(0, N or S) wherein the ring can be (un)substituted with  $\geq 1$  of alkyl, alkenyl, alkynyl, cycloalkyl, alkaryl, alkoxy, aryloxy, et al.; G = -OR [R = H or unsubstituted lower (C1-C6) alkyl], -NOR, -NHYR' (R' is H, alkyl or aryl and Y is -C(O), -SO or -SO2), or O (provided that R1 and R2 together does not form a pyrrolidine, 4-hydroxypiperidine, 4pyrrolidinylpiperidine, piperazine or azabicyclo[3.1.0]hexane ring). Methods of preparation are claimed and prepns. and/or characterization data for .apprx.80 examples of I are included. For example, 1-cyclopentyl-1hydroxy-1-phenyl-3-(piperidin-1-yl)propan-2-one was prepared (86 %) from piperidine, Et3N and 3-bromo-1-cyclopentyl-1-hydroxy-1-phenyl-2-propanone (preparation described) in CH2Cl2. Ki values for I tested in a radioligand binding assay range from .apprx.5 nM to .apprx.10  $\mu$ M for M2 receptors, and from .apprx.0.5 nM to .apprx.10 µM for M3 receptors. Selectivity for bladder pressure inhibition vs. salivation was determined for compound 3 examples of I and was .apprx.2, similar to that determined for tolterodine. IT 881098-10-8P, 3-[(3-Benzyl-3-azabicyclo[3.1.0]hex-6yl) (methyl) amino] -1-cyclopentyl-1-hydroxy-1-phenylpropan-2-one RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of Ph-substituted amine diols and related compds. as muscarinic receptor antagonists for treating diseases such as those of respiratory, urinary and gastrointestinal systems)

RN 881098-10-8 CAPLUS
CN 2-Propagone, 1-cyclopentyl-1-hydroxy-

2-Propanone, 1-cyclopentyl-1-hydroxy-3-[methyl[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]-1-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO} & \text{O} & \text{Me} \\ & | & | & | \\ & \text{C-C-CH}_2 - \text{N} \end{array}$$

IT 881098-84-6P, 3-[(3-Azabicyclo[3.1.0]hex-6-yl)(methyl)amino]-1 cyclopentyl-1-hydroxy-1-phenylpropan-2-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of Ph-substituted amine diols and related compds. as muscarinic receptor antagonists for treating diseases such as those of respiratory, urinary and gastrointestinal systems)

RN 881098-84-6 CAPLUS

CN 2-Propanone, 3-(3-azabicyclo[3.1.0]hex-6-ylmethylamino)-1-cyclopentyl-1-hydroxy-1-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:194199 CAPLUS

DOCUMENT NUMBER: 144:274304

TITLE: Preparation of aminoheteroaryl compounds as c-Met

tyrosine kinase inhibitors

Cui, Jingrong Jean; Funk, Lee Andrew; Jia, Lei; Kung, INVENTOR(S):

> Pei-Pei; Meng, Jerry Jialun; Nambu, Mitchell David; Pairish, Mason Alan; Shen, Hong; Tran-Dube, Michelle

Bich

PATENT ASSIGNEE(S):

Pfizer Inc., USA

SOURCE:

PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT I	NO.			KIND DA			DATE APPL				PLICATION NO.					DATE		
WO	2006	0218	86		A1 20060302			i	WO 2	005-:	 IB29:	20050815							
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,		
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,		
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,		
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,		
		ZA,	ZM,	zw															
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	KZ,	MD,	RU,	ТJ,	TM												
US	US 2006178374						A1 200608			US 2	005-2	2130	38		2	0050	826		
PRIORIT	Y APP	LN.	.:					•	US 2	004-	6052	79P	P 20040826						
OTHER S		MAR	PAT	144:	2743	04													
GI																			

R11

Cl

ΑB Aminoheteroaryl compds. (one Markush structure shown as I; variables defined below; e.g. 3-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-5-[4-(isoxazol-5-yl)phenyl]pyridin-2-amine (shown as II)) are provided, as well

as methods for their synthesis and use. Preferred compds. are potent inhibitors of the c-Met protein kinase (Ki values reported for 150 examples), and are useful in the treatment of abnormal cell growth disorders, such as cancers. For I: A = bond or C3-12 cycloalkyl, C6-12 aryl, 3-12 membered heteroalicyclic or 5-12 membered heteroaryl (each H in A optionally substituted); R2 is H, halo, C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C3-12 cycloalkyl, C6-12 aryl, 3-12 membered heteroalicyclic, 5-12 membered heteroaryl, -S(0)mR4, -S02NR4R5, -S(0)20R4, -NO2, -NR4R5, -(CR6R7) nOR4, -CN, -C(O) R4, -OC(O) R4, -O(CR6R7) nR4, -NR4C(O)R5, -(CR6R7)nC(O)OR4, -(CR6R7)nNCR4R5, -C(:NR6)NR4R5, -NR4C(O)NR5R6, -NR4S(O)pR5 or -C(O)NR4R5, and each H in R2 is (un) substituted. R10, R11 = independently H, halo, C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C3-12 cycloalkyl, C6-12 aryl, 3-12 membered heteroalicyclic, 5-12 membered heteroaryl, -S(O)mR4, -SO2NR4R5, -S(O)2OR4, -NO2, -NR4R5, -(CR6R7)nOR4, -CN, -C(O)R4, -OC(O)R4, -O(CR6R7)nR4, -NR4C(0)R5, -(CR6R7)nC(0)OR4, -(CR6R7)nOR4, -(CR6R7)nC(0)NR4R5, -(CR6R7) nNCR4R5, -C(:NR6) NR4R5, -NR4C(O) NR5R6, -NR4S(O) pR5, -C(O) NR4R5, -(CR6R7)n(3-12 membered heteroalicyclic), -(CR6R7)n(C3-12 cycloalkyl), -(CR6R7)n(C6-12 aryl), -(CR6R7)n(5-12 membered heteroaryl), or -(CR6R7)nC(O)NR4R5 and each H in R10 and R11 is (un)substituted; addnl. details are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for .apprx.150 examples are included, but very few of them correspond to any Markush structure in the claims. For example, II was prepared from the 2,3-dimethylbutane-2,3-diyl substituted-pyridin-3-ylboronate and an aryl halide in DME in the presence of Pd(PPh3)2Cl2.

IT 877620-74-1P, 1-(6-Amino-3-azabicyclo[3.1.0]hex-3-yl)-2-[4-[6amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]pyridin-3yl]phenyl]ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminoheteroaryl compds. as c-Met tyrosine kinase inhibitors)

RN 877620-74-1 CAPLUS

CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[[4-[6-amino-5-[1-(2,6-dichloro-3-fluorophenyl)ethoxy]-3-pyridinyl]phenyl]acetyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1244913 CAPLUS

DOCUMENT NUMBER: 144:129206

TITLE: Cyclopropyl building blocks in organic synthesis. Part

116. An access to 3,4-(aminomethano)proline in racemic

and enantiomerically pure form

AUTHOR(S): Brackmann, Farina; Schill, Heiko; de Meijere, Armin

CORPORATE SOURCE: Institut fuer Organische und Biomolekulare Chemie,

Georg-August-Universitaet Goettingen, Goettingen,

37077, Germany

SOURCE: Chemistry--A European Journal (2005), 11(22),

6593-6600

CODEN: CEUJED; ISSN: 0947-6539 Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:129206

GI

PUBLISHER:

NBn2

CO2Bu-t

NBn2

CO2Bu-t

NBoc

I

AB Protected racemic and enantiomerically pure 3,4-(aminomethano)prolines (25\*,2'R\*,3R\*,4R\*)rac-I and (25,2'R,3R,4R)-I have been prepared applying a titanium-mediated reductive cyclopropanation as a key step. Thus, cyclopropanations of N,N-dibenzylformamide with titanacyclopropanes generated in situ from racemic or enantiomerically pure tert-Bu N-Boc-3,4-dehydroprolinates (Boc = tert-butoxycarbonyl) (25\*)rac-II or (25)-II proceed diastereoselectively, and furnish the protected racemic and enantiomerically pure diamino acid I. The latter was incorporated into three tripeptides containing glycyl, alanyl and phenylalanyl moieties.

IT 873544-19-5P 873544-23-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (stereoselective and asym. synthesis of racemic and enantiopure aminomethanoprolines and their incorporation into tripeptides)

RN 873544-19-5 CAPLUS

CN L-Phenylalanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-(1R,2S,5R,6R)-6-[[(phenylmethoxy)carbonyl]amino]-3-azabicyclo[3.1.0]hexane-2-carbonyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 2-A

RN 873544-23-1 CAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-(1R,2S,5R,6R)-6-[[(phenylmethoxy)carbonyl]amino]-3-azabicyclo[3.1.0]hexane-2-carbonyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:872782 CAPLUS

DOCUMENT NUMBER: 141:366130

TITLE: Preparation of substituted azabicyclo hexane

> derivatives as muscarinic receptor antagonists Mehta, Anita; Miriyala, Bruhaspathy; Arundutt,

Silamkoti Viswanatham; Gupta, Jang Bahadur Ranbaxy Laboratories Limited, India

PATENT ASSIGNEE(S):

PCT Int. Appl., 52 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

INVENTOR(S):

PA	CENT 1	NO.			KIND DATE				APPLICATION NO.							DATE			
WO	2004	0898	99		A1 20041021						20030410								
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,		
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,		
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SŹ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
							CM,												
AU	2003	2230	10		<b>A</b> 1		2004	1101	1	AU 2	003-	2230	10		2	0030	410		
EP	1615	887			A1		2006	0118	1	EP 2	003-	7189	77		2	0030	410		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK			
PRIORIT	APP	LN.	INFO	. :					1	NO 2	003-	1	A 2	0030	410				
OTHER SO	OURCE	(S):			CAS	REAC	Т 14	1:36	6130; MARPAT 141:366130										

$$\begin{array}{c|c}
O \\
HO \\
Ph
\end{array}$$

$$\begin{array}{c|c}
H \\
C \\
H
\end{array}$$

$$\begin{array}{c|c}
H \\
N - CH_2Ph \\
H$$

$$\begin{array}{c|c}
H \\
H
\end{array}$$

AB

Title compds. I [] are prepared For instance, II is prepared from (2S)-2-[3-oxocyclohexane-1-yl]-2-hydroxy-2-phenylacetic acid (preparation

given) and  $(1\alpha, 5\alpha, 6\alpha)$ -6-amino-3-benzyl-3azabicyclo[3.1.0]hexane (prior art). Selected examples exhibit affinity for the muscarinic M2 and M3 receptors. I are useful in the treatment of urinary incontinence. 776299-83-3P 776299-84-4P 776299-85-5P IT 776299-86-6P 776299-87-7P 776299-88-8P 776299-89-9P 776299-90-2P 776299-91-3P 776299-92-4P 776299-93-5P 776299-97-9P 776299-98-0P 777860-44-3P 777860-45-4P 777860-46-5P 777860-47-6P 777860-48-7P 777860-49-8P 777860-50-1P 777860-51-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of substituted azabicyclo hexane derivs. as muscarinic M2 and M3 receptor antagonists) RN 776299-83-3 CAPLUS Benzeneacetamide,  $\alpha$ -hydroxy- $\alpha$ -(3-oxocyclohexyl)-N-CN [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6yl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-84-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -(3-fluorocyclohexyl)- $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-85-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -(3-fluorocyclopentyl)- $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-86-6 CAPLUS

CN Benzeneacetamide,  $\alpha-[(1R)-3,3-difluorocyclohexyl]-\alpha-hydroxy-N-[(1R,5S)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-87-7 CAPLUS

CN Benzeneacetamide,  $\alpha-[(1R)-3,3-difluorocyclopentyl]-\alpha-hydroxy-N-[(1R,5S)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-88-8 CAPLUS

CN Benzeneacetamide, N-[(1R,5S)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(3,3-difluorocyclohexyl)- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-89-9 CAPLUS

CN Benzeneacetamide, N-[(1R,5S)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -[(1R)-3,3-difluorocyclopentyl]- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-90-2 CAPLUS

CN Benzeneacetamide, N-[(1R,5S)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(3-fluorocyclohexyl)- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-91-3 CAPLUS

CN Benzeneacetamide, N-[(1R,5S)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(3-fluorocyclopentyl)- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-92-4 CAPLUS

CN Benzeneacetamide,  $\alpha-[(1R)-3,3-difluorocyclohexyl]-\alpha-hydroxy-N-[(1R,5S)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-93-5 CAPLUS

CN Benzeneacetamide,  $\alpha - [(1R) - 3, 3 - \text{difluorocyclopentyl}] - \alpha - \text{hydroxy-N-}$ 

[(1R,5S)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-97-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -(3-fluorocyclohexyl)- $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 776299-98-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -(3-fluorocyclopentyl)- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 777860-44-3 CAPLUS

CN Benzeneacetamide,  $\alpha-[(1S)-3,3-\text{difluorocyclohexyl}]-\alpha-\text{hydroxy-N-}$  [ $(1\alpha,5\alpha,6\alpha)-3-(\text{phenylmethyl})-3-\text{azabicyclo}[3.1.0]\text{hex-6-}$  yl]-,  $(\alpha S)-(9CI)$  (CA INDEX NAME)

Absolute stereochemistry.

RN 777860-45-4 CAPLUS

CN Benzeneacetamide,  $\alpha-[(1S)-3,3-difluorocyclopentyl]-\alpha-hydroxy-N-[(1\alpha,5\alpha,6\alpha)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 777860-46-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -(3,3-difluorocyclopentyl)- $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 777860-47-6 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -[(1S)-3,3-difluorocyclopentyl]- $\alpha$ -hydroxy-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 777860-48-7 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(3,3-difluorocyclopentyl)- $\alpha$ -hydroxy-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 777860-49-8 CAPLUS

CN Benzeneacetamide,  $\alpha-[(1S)-3,3-\text{difluorocyclohexyl}]-\alpha-\text{hydroxy-N-}$  [ $(1\alpha,5\alpha,6\alpha)-3-(4-\text{methyl}-3-\text{pentenyl})-3-$  azabicyclo[3.1.0]hex-6-yl]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 777860-50-1 CAPLUS

CN Benzeneacetamide,  $\alpha = [(1S) - 3, 3 - \text{difluorocyclopentyl}] - \alpha - \text{hydroxy-N-} [(1\alpha, 5\alpha, 6\alpha) - 3 - (4 - \text{methyl} - 3 - \text{pentenyl}) - 3 - \text{azabicyclo}[3.1.0] \text{hex-6-yl}] -, (\alpha S) - (9CI) (CA INDEX NAME)$ 

Absolute stereochemistry.

RN 777860-51-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -(3,3-difluorocyclopentyl)- $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:872781 CAPLUS

DOCUMENT NUMBER:

141:350045

TITLE:

Preparation of substituted azabicyclo hexane derivatives as muscarinic receptor antagonists

INVENTOR(S):

Mehta, Anita; Miriyala, Bruhaspathy; Arora, Sudershan

II

Kumar; Gupta, Jang Bahadur

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

. 1

PATENT INFORMATION:

P.F					KIND DATE			APPLICATION NO.							DATE		
WC	2004				A1 20041021			•									
	W:	AF.	AG.	AT.	AM.	ΑТ	AU,	A7.	BA.	BB.	BG.	BR.	BY.	B2.	CA.	CH.	CN.
				•	•		DK,										-
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		•	•	•	•	•	MD,	•	•	•	•	•		•	•	•	•
		PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,
		-		-	•		VC,			•			•		•	•	•
	RW:	GH,	•	•	•	•	•	•	•	•	•		ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
Αl	J 2003	2145	20	-	A1	·	2004	1101		AU 2	003-	2145	20		2	0030	409
E	1618	091			<b>A</b> 1		2006	0125	:	EP 2	003-	7100	99		2	0030	409
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		•	•		•	•	RO,	•	•	•	•	•	•	•			
PRIORIT	Y APP				•	•	•	•		•	-		-	1			409
OTHER S	THER SOURCE(S):						MARPAT 141:350045										
GI	• •																

Title compds. I [Ar = (hetero)aryl, etc.; R1 = H, alk(en/yn)yl, etc.; R2 = AB H, alkyl; A = (CH2)0-4, CO; W = (CH2)1-4; X = O, S, amino; Y = alkyl; R3-4= H, alkyl, cycloalkyl, etc.] are prepared For instance, II is prepared from (3-benzyl-3-azabicyclo[3.1.0]hexan-6-yl)amine, 2-chloroacetyl chloride and (2-methoxy-5-methylphenyl)-3-phenylpropanoic acid. II exhibited pKi < 6 for both the muscarinic M2 and M3 receptors. I are useful for the treatment of respiratory, urinary and gastrointestinal disorders. 777068-38-9P 777068-40-3P 777068-58-3P ΙT 777068-64-1P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of substituted azabicyclo hexane derivs. as muscarinic M2 and M3 receptor antagonists) RN 777068-38-9 CAPLUS CN Benzenepropanoic acid, 2-methoxy-5-methyl-β-phenyl-,  $2-oxo-2-[[(1\alpha,5\alpha,6\alpha)-3-(phenylmethyl)-3-$ 

azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-40-3 CAPLUS CN Benzenepropanoic acid, 5-methyl- $\beta$ -phenyl-2-(phenylmethoxy)-, 2-oxo-2-[[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3- azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-58-3 CAPLUS
CN Benzenepropanamide, 2-hydroxy-5-methyl- $\beta$ -phenyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-64-1 CAPLUS

CN Benzenepropanamide, 2-methoxy-5-methyl- $\beta$ -phenyl-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 777068-42-5P 777068-44-7P 777068-46-9P

777068-48-1P 777068-50-5P 777068-52-7P

777068-55-0P 777068-57-2P 777068-60-7P

777068-62-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted azabicyclo hexane derivs. as muscarinic M2 and M3 receptor antagonists)

RN 777068-42-5 CAPLUS

CN Benzenepropanamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl-2-hydroxy-5-methyl- $\beta$ -phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-44-7 CAPLUS

CN Benzenepropanamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl-2-methoxy-5-methyl- $\beta$ -phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} \text{OMe} & \text{H} & \text{S} \\ \text{Ph} & \text{O} & \text{H} \end{array}$$

RN 777068-46-9 CAPLUS

CN Benzenepropanoic acid, 2-methoxy-5-methyl- $\beta$ -phenyl-, 4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-yl[(1,1-dimethylethoxy)carbonyl]amino]butyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-48-1 CAPLUS

CN Benzenepropanoic acid, 2-hydroxy-5-methyl- $\beta$ -phenyl-, 4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-yl[(1,1-dimethylethoxy)carbonyl]amino]butyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-50-5 CAPLUS

CN Benzenepropanoic acid, 2-methoxy-5-methyl- $\beta$ -phenyl-, 2-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-ylamino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-52-7 CAPLUS

CN Benzenepropanoic acid, 2-hydroxy-5-methyl- $\beta$ -phenyl-, 2-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-ylamino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-55-0 CAPLUS

CN Benzenepropanamide, 2-hydroxy-5-methyl-N-[2-oxo-2- [[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl]- $\beta$ -phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-57-2 CAPLUS

CN Benzenepropanamide, 2-methoxy-5-methyl-N-[2-oxo-2- [[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl]- $\beta$ -phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 777068-60-7 CAPLUS

CN Benzenepropanoic acid, 2-methoxy-5-methyl- $\beta$ -phenyl-, 4-[[(1,1-dimethylethoxy)carbonyl][(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]butyl ester (9CI) (CA INDEX NAME)

RN 777068-62-9 CAPLUS

CN Benzenepropanoic acid, 5-methyl- $\beta$ -phenyl-2-(phenylmethoxy)-, 4-[[(1,1-dimethylethoxy)carbonyl][(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]butyl ester (9CI) (CFINDEX NAME)

Relative stereochemistry.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:675748 CAPLUS

DOCUMENT NUMBER:

141:207060

TITLE:

Preparation of azabicyclo[3.1.0]hexanes as muscarinic

receptor antagonists

INVENTOR(S):

Mehta, Anita; Miriyala, Bruhaspathy; Kumar, Naresh;

Gupta, Jang Bahadur

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 37 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.						DATE		
WO	WO 2004069835				A1 200408			0819	WO 2003-IB416						20030207		
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SĖ,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw						
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		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
AU	AU 2003205964				A1		20040830			AU 2003-205964					20030207		
EΡ	1594871				A1		20051116			EP 2003-702847					20030207		
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRIORITY APPLN. INFO.: WO 2003-IB416 A 20030207 OTHER SOURCE(S): CASREACT 141:207060; MARPAT 141:207060

AB Title compds. [I; Ar = (substituted) aryl, heteroaryl; R1 = H, OH, HOCH2, aryl, alkylaryl, amino, alkoxy, carbamoyl, halo; R2 = alkyl, cycloalkyl cycloalkenyl, (substituted) aryl, heteroaryl; W = (CH2)p; p = 0, 1; X = 0, S, NR, null; Y = null, CHR5CO, Me, (CH2)q; q = 0-4; R5 = H; Z = null, NHR8CO; R8 = (CH2)r; r = 0-4; Q = (CH2)n; n = 0, 1; R6, R7 = H, Me, CO2H, CONH2, NH2, CH2NH2; R4 = H, (substituted) (unsatd.) hydrocarbyl], were prepared Thus, N-[(lα,5α,6α)-3-azabicyclo[3.1.0]hexan-6-yl] 3,3,3-triphenylpropionamide, 4-methyl-3-pentenyl bromide, K2CO3, and KI were stirred in DMF at 60-70° for 3 h and at room temperature overnight to give N-[(lα,5α,6α)-3-(4-methyl-3-pentenyl)azabicyclo[3.1.0]hexan-6-yl] 3,3,3-triphenylpropionamide. I bound to M2 and M3 receptors with pKi <6.</p>
IT 741676-03-9P 741676-04-0P 741676-05-1P

TT 741676-03-9P 741676-04-0P 741676-05-1P 741676-06-2P 741676-08-4P 741676-09-5P 741676-10-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of azabicyclohexanes as muscarinic receptor antagonists)

RN 741676-03-9 CAPLUS

CN Benzenepropanamide,  $\beta$ ,  $\beta$ -diphenyl-N-[(1 $\alpha$ , 5 $\alpha$ , 6 $\alpha$ ) - 3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 741676-04-0 CAPLUS

CN Benzenepropanamide, N- $\{(1\alpha, 5\alpha, 6\alpha)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-\beta,\beta-diphenyl- (9CI) (CA INDEX NAME)$ 

RN 741676-05-1 CAPLUS

CN Benzenepropanamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\beta$ , $\beta$ -diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 741676-06-2 CAPLUS

CN Benzenepropanamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(2,3-dihydro-5-benzofuranyl)-2-oxoethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\beta$ ,  $\beta$ -diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 741676-08-4 CAPLUS

CN  $\beta$ -Alaninamide, N-(1-oxo-3,3,3-triphenylpropyl)glycyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} Ph_3C \\ \hline \\ N \\ H \\ \hline \\ O \\ \end{array}$$

RN 741676-09-5 CAPLUS

CN Benzeneacetamide,  $N-(1\alpha, 5\alpha, 6\beta)-3$ -azabicyclo[3.1.0]hex-6-

yl-4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 741676-10-8 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\beta)$ -3-azabicyclo[3.1.0]hex-6-yl-4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -propoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 741676-11-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azabicyclohexanes as muscarinic receptor antagonists)

RN 741676-11-9 CAPLUS CN Benzenepropanamide, N- $(1\alpha, 5\alpha, 6\beta)$ -3-azabicyclo[3.1.0]hex-6-yl- $\beta$ , $\beta$ -diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

TT 712355-53-8P 712355-57-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azabicyclohexanes as muscarinic receptor antagonists)

712355-53-8 CAPLUS RN

Benzeneacetamide, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -hydroxy-N-CN [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

712355-57-2 CAPLUS RN

CN Benzeneacetamide, 4-fluoro- $\alpha$ -(4-fluorophenyl)-N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6yl]- $\alpha$ -propoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 10 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN L4

ACCESSION NUMBER:

2004:648506 CAPLUS

DOCUMENT NUMBER:

141:190686

TITLE:

Preparation of 3,6-disubstituted azabicyclohexanes as

muscarinic receptor antagonists

INVENTOR(S):

Mehta, Anita; Silamkoti, Arundutt V.; Kumar, Naresh;

Gupta, Jang Bahadur

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 115 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2004067510
                                  20040812
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                                                                        20030128
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                                  20050324
     WO 2004067510
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PRIORITY APPLN. INFO.:
                                               WO 2003-IB256
                                                                   A 20030128
OTHER SOURCE(S):
                          CASREACT 141:190686; MARPAT 141:190686
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AΒ Title compds. [I; Ar = (substituted) aryl, heteroaryl; R1 = H, OH, HOCH2, alkyl, amino, alkoxy, cycloalkyl, carbamoyl, halo, aryl; R2 = alkyl, cycloalkyl, cycloalkenyl, (substituted) aryl, heteroaryl; W = (CH2)p; p = 0, 1; X = 0, S, NR, null; Y = CHR5CO; R5 = H, Me, (CH2)q; q = 0-4; Q = 0(CH2)m; m = 0-2; R3 = H, alkyl, CO2CMe3; R4 = (unsatd.) (substituted)aliphatyl], were prepared Thus, 5-bromo-4-methylpent-3-ene,  $(1\alpha, 5\alpha, 6\alpha)$ -6-tert-butoxycarbonylamino-3azabicyclo[3.1.0]hexane, and K2CO3 were refluxed 5 h in MeCN to give  $(1\alpha, 5\alpha, 6\alpha)$  -N-3-(4-methyl-3-pentenyl)-6-tertbutoxycarbonylamino-3-azabicyclo[3.1.0]hexane. This was treated with aqueous HCl in EtOAc at 0° to give  $(1\alpha, 5\alpha, 6\alpha)$ -N-3-(4methyl-3-pentenyl)-6-amino-3-azabicyclo[3.1.0]hexane. The latter was stirred with 2-hydroxy-2-cyclopentyl-2-(4-methoxyphenyl)acetic acid, hydroxybenzotriazole, N-methylmorpholine, and EDC.HCl in DMF at 0° to room temperature to give  $(1\alpha, 5\alpha, 6\alpha) - N - [3 - (4 - methyl - 3$ pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-2-hydroxy-2-cyclopentyl-2-(4methoxyphenyl)acetamide. In a contractile assay using rat bladder strips, I showed pKB = 5.08-8.36 nM. 738628-24-5P 738628-64-3P 738628-68-7P IT RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of 3,6-disubstituted azabicyclohexanes as muscarinic receptor antagonists) RN738628-24-5 CAPLUS Benzeneacetamide, α-cyclopentyl-α-hydroxy-N-CN  $[(1\alpha, 5\alpha, 6\alpha) - 3 - [(3-nitrophenyl)methyl] - 3$ azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738628-64-3 CAPLUS CN Benzoic acid,  $4-[(1\alpha,5\alpha,6\alpha)-6-(cyclopentylhydroxyphenylacetyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)$ 

Relative stereochemistry.

RN 738628-68-7 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-3-acetic acid,  $(1\alpha, 5\alpha, 6\alpha)$ -6[(cyclopentylhydroxyphenylacetyl)amino]- $\alpha$ -methyl-, ethyl ester (9CI)
(CA INDEX NAME)

Relative stereochemistry.

712356-14-4P 712356-15-5P 712356-64-4P ΙT 712357-03-4P 738628-09-6P 738628-10-9P 738628-11-0P 738628-12-1P 738628-13-2P 738628-14-3P 738628-15-4P 738628-16-5P 738628-17-6P 738628-18-7P 738628-19-8P 738628-20-1P 738628-21-2P 738628-22-3P 738628-23-4P 738628-25-6P 738628-26-7P 738628-27-8P 738628-28-9P 738628-29-0P 738628-30-3P 738628-31-4P 738628-32-5P 738628-33-6P 738628-34-7P 738628-35-8P 738628-36-9P 738628-37-0P 738628-38-1P 738628-39-2P 738628-40-5P 738628-41-6P 738628-42-7P 738628-43-8P 738628-44-9P 738628-45-0P 738628-46-1P 738628-47-2P 738628-48-3P 738628-49-4P 738628-50-7P 738628-51-8P 738628-52-9P 738628-53-0P 738628-54-1P 738628-55-2P 738628-56-3P 738628-57-4P 738628-58-5P 738628-59-6P 738628-60-9P 738628-61-0P 738628-62-1P

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738628-63-2P 738628-65-4P 738628-66-5P
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     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of 3,6-disubstituted azabicyclohexanes as
        muscarinic receptor antagonists)
RN
     712356-14-4 CAPLUS
CN
     Benzeneacetamide, \alpha-cyclopentyl-\alpha-hydroxy-N-
     [(1\alpha, 5\alpha, 6\alpha) - 3 - (phenylmethyl) - 3 - azabicyclo[3.1.0]hex-6-
     yl]- (9CI) (CA INDEX NAME)
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Relative stereochemistry.

RN 712356-15-5 CAPLUS CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

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RN 712356-64-4 CAPLUS CN Benzeneacetamide, \alpha-cyclobutyl-\alpha-hydroxy-N- [(1\alpha,5\alpha,6\alpha)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-
```

Relative stereochemistry.

RN 712357-03-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-09-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-4-methoxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-10-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(2-thienylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738628-11-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(2-thienylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-12-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(5-nitro-2-furanyl)methyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-13-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methylpentyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-14-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(2,3-dihydro-1,4-benzodioxin-6-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-15-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(3,4,5-trimethoxyphenyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-16-5 CAPLUS

CN Benzeneacetamide, N-[( $1\alpha$ ,  $5\alpha$ ,  $6\alpha$ )-3-[3-(1, 3-benzodioxol-5-yl)propyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-17-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(3, 4, 5-trimethoxyphenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738628-18-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(3,5-dimethoxyphenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-19-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(3,4-dimethoxyphenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-20-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(3-methoxyphenyl)methyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-21-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-

[ $(1\alpha, 5\alpha, 6\alpha)-3-[[4-(trifluoromethyl)phenyl]methyl]-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)$ 

Relative stereochemistry.

RN 738628-22-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(5-methyl-2-furanyl)methyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-23-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(4-methylphenoxy)ethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-25-6 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(4-chlorophenyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 738628-26-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(4-nitrophenyl)methyl]-3azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-27-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3-phenylpropyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-28-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(3-hydroxyphenyl)methyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-29-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738628-30-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[[4-(1,1-dimethylethyl)phenyl]methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-31-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(2-quinolinylmethyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-32-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(4-methoxy-3-nitrophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-33-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(4-hydroxy-3-nitrophenyl)methyl]-3-

Relative stereochemistry.

RN 738628-34-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(3,4-dichlorophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-35-8 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(3-aminophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-36-9 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(6-amino-2-pyridinyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 738628-37-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(2-phenoxyethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-38-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3-phenoxypropyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-39-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(1H-pyrrol-2-ylmethyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-40-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 738628-41-6 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclobutyl- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-42-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopropyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-43-8 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(1, 3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopropyl- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-44-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopropyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(4-hydroxy-3-methoxyphenyl)methyl]-3-

Relative stereochemistry.

RN 738628-45-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(3-hydroxy-4-methoxyphenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-46-1 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-acetic acid, 6-[(cyclopentylhydroxyphenylacety 1)amino]- $\alpha$ -phenyl-, ethyl ester, (1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-47-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[1-(2-hydroxyphenyl)ethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738628-48-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[1-(4-methylphenyl)ethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-49-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenyl-3-pyridinylmethyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-50-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(4-pyridinylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-51-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-

(2,3-dihydro-1H-inden-1-yl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-52-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(3-methylphenyl)methyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-53-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(2, 4, 6-trimethylphenyl)methyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-54-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(3,4-dimethoxyphenyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

RN 738628-55-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(3,4-dimethylphenyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-56-3 CAPLUS
CN Benzeneacetamide, α-cyclopentyl-α-hydroxy-N-

[ $(1\alpha, 5\alpha, 6\alpha)$ -3-pentyl-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-57-4 CAPLUS

CN Benzeneacetamide, N-[( $1\alpha$ ,  $5\alpha$ ,  $6\alpha$ )-3-[(4-cyanophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 738628-58-5 CAPLUS

CN Benzeneacetamide, N-[( $1\alpha$ ,  $5\alpha$ ,  $6\alpha$ )-3-[(2-cyanophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-59-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(pentafluorophenyl)methyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-60-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3-pyridinylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-61-0 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(4-bromo-2-

thienyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-62-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-63-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(2-nitrophenyl)methyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-65-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (diphenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 738628-66-5 CAPLUS CN Benzoic acid,  $4-[(1\alpha,5\alpha,6\alpha)-6-(cyclopentylhydroxyphenylacetyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]methyl]- (9CI) (CA INDEX NAME)$ 

Relative stereochemistry.

RN 738628-67-6 CAPLUS

CN Benzeneacetamide, N-[( $1\alpha$ ,  $5\alpha$ ,  $6\alpha$ )-3-[(2-aminophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-69-8 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(4-acetylphenyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-70-1 CAPLUS

CN Benzoic acid,  $4-[2-[(1\alpha,5\alpha,6\alpha)-6-[(cyclopentylhydroxyphenylacetyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)$ 

RN 738628-71-2 CAPLUS

CN Benzeneacetamide, N-[( $1\alpha$ ,  $5\alpha$ ,  $6\alpha$ )-3-[(3-cyanophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-72-3 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(2-cyanophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-73-4 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(3-cyanophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 738628-74-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3-methylbutyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-75-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-[4-(hydroxymethyl)phenyl]ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-76-7 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(4-amino-3-fluorophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-77-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[1-(3,4-dimethylphenyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

RN 738628-78-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(3-methylphenoxy)ethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-79-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[3-(3-methylphenoxy)propyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-80-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(2-methylphenyl)methyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-81-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(2-methylphenyl)ethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-82-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(1,3-dioxolan-2-ylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-83-6 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-acetic acid, 6-[(cyclopentylhydroxyphenylacety l)amino]- $\alpha$ -methyl-, (1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-84-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -hydroxy- $\alpha$ -phenyl-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738628-85-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopropyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(2,3-dihydro-5-benzofuranyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-86-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopropyl- $\alpha$ -hydroxy-N[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-87-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclobutyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738628-88-1 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-acetic acid, 6-[(cycloheptylhydroxyphenylacety l)amino]- $\alpha$ -phenyl-, (1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-89-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(1H-indol-3-yl)ethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-90-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(2-naphthalenylmethyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-91-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(1H-indol-3-yl)ethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738628-92-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-hexyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-93-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(1, 2, 3, 4-tetrahydro-1-naphthalenyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-94-9 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(2-chlorophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 738628-95-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(2-methoxyphenyl)ethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-96-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(4-fluorophenyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-97-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[1-(2,3-dihydro-1H-inden-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738628-98-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[1-(1-naphthalenyl)ethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738628-99-4 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[1-(1, 3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-00-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[1-(5,6,7,8-tetrahydro-2-naphthalenyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-01-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopropyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3Z)-3-hexenyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

RN 738629-02-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopropyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3E)-3-hexenyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

RN 738629-03-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3E)-3-hexenyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

RN 738629-04-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3Z)-3-hexenyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

RN 738629-05-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3E)-3-hexenyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

RN 738629-06-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(3Z)-3-hexenyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry. Double bond geometry as shown.

RN 738629-07-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(2-naphthalenylmethyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-08-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(1-methyl-2-oxo-2-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-09-9 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-[4-(aminocarbonyl)phenyl]ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -

cyclopentyl-α-hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-10-2 CAPLUS

CN Benzoic acid,  $4-[2-[(1\alpha,5\alpha,6\alpha)-6-$ 

[(cyclopentylhydroxyphenylacetyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-11-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(2-methyl-1-phenylpropyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-12-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [ $(1\alpha,5\alpha,6\alpha)$ -3-(1-methyl-2-oxo-2-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738629-13-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-hexyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-14-6 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(4-cyanophenyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-15-7 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-[4-(aminosulfonyl)phenyl]ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 738629-16-8 CAPLUS CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(cyclohexylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-17-9 CAPLUS
CN Benzeneacetamide,  $\alpha$ -chloro- $\alpha$ -cyclohexyl-N[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-18-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-19-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-20-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-21-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -hydroxy- $\alpha$ -methyl-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-22-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-methyl-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-23-7 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(1, 3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-methyl- (9CI) (CA INDEX NAME)

RN 738629-24-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-methyl-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-25-9 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-26-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N-methyl-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-27-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-methyl-N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-28-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-methyl-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]-, (2R,3R)-2,3-dihydroxybutanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 738629-27-1 CMF C25 H36 N2 O2

Relative stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 738629-44-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclobutyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(4-methylpentyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 738629-45-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopropyl- $\alpha$ -hydroxy-4-methoxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-46-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- { $(1\alpha,5\alpha,6\alpha)$ -3-[(4-hydroxy-3-methoxyphenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-47-5 CAPLUS

CN Benzeneacetamide, N-[( $1\alpha$ ,  $5\alpha$ ,  $6\alpha$ )-3-[(4-bromo-2-thienyl)methyl]-3-azabicyclo[3:1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 738629-48-6 CAPLUS CN Cycloheptaneacetamide,  $\alpha$ -hydroxy- $\alpha$ -phenyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

RN 712356-39-3 CAPLUS CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 712356-40-6 CAPLUS

CN Cycloheptaneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -hydroxy- $\alpha$ -phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-41-7 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclobutyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-42-8 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclopropyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-41-9 CAPLUS

CN Carbamic acid,  $[4-[[(1\alpha,5\alpha,6\alpha)-6-[(cyclopentylhydroxyphenylacetyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]methyl]-2-fluorophenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)$ 

RN 738629-42-0 CAPLUS CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- $\alpha$ -phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

RN 738629-31-7 CAPLUS Carbamic acid,  $[6-[[(1\alpha,5\alpha,6\alpha)-6-[(cyclopentylhydroxyphenylacetyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)$ 

RN 738629-32-8 CAPLUS CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6- [(cyclopentylhydroxyphenylacetyl)amino]-, 1,1-dimethylethyl ester,  $(1\alpha, 5\alpha, 6\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-33-9 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6 [[cyclopentylphenyl[(trimethylsilyl)oxy]acetyl]amino]-, 1,1-dimethylethyl

Relative stereochemistry.

ester,  $(1\alpha, 5\alpha, 6\alpha)$  – (9CI) (CA INDEX NAME)

RN 738629-34-0 CAPLUS CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6- [(cyclopentylhydroxyphenylacetyl)methylamino]-, 1,1-dimethylethyl ester,  $(1\alpha, 5\alpha, 6\alpha)$ - (9CI) (CA INDEX NAME)

RN 738629-35-1 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

## ● HCl

RN 738629-36-2 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6- [(cyclohexylhydroxyphenylacetyl)amino]-, 1,1-dimethylethyl ester,  $(1\alpha, 5\alpha, 6\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-37-3 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6- [[cyclohexylphenyl[(trimethylsilyl)oxy]acetyl]amino]-, 1,1-dimethylethyl ester,  $(1\alpha, 5\alpha, 6\alpha)$ - (9CI) (CA INDEX NAME)

RN 738629-38-4 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6- [[cyclohexylphenyl[(trimethylsilyl)oxy]acetyl]methylamino]-, 1,1-dimethylethyl ester,  $(1\alpha,5\alpha,6\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 738629-39-5 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:515483 CAPLUS

DOCUMENT NUMBER:

141:71449

TITLE:

Preparation of 3,6-disubstituted

 ${\tt azabicyclo[3.1.0]} he xane \ {\tt derivatives} \ {\tt as} \ {\tt muscarinic}$ 

receptor antagonists

INVENTOR(S):

Mehta, Anita; Silamkoti, Arundutt Viswanatham; Miriyala, Bruhaspathy; Arora, Sudershan Kumar;

Srinivasulu, Boju; Mukherjee, Bireshwar; Gupta, Jang

Bahadur

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

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WO	WO 2004052857				A1 20040624			0624	WO 2002-IB5220						20021210			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
AU	AU 2002353286				A1 20040630				AU 2002-353286					20021210				
EP	EP 1572648				A1 20050914				EP 2002-788307						20021210			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK			
JP	JP 2006518707					T2 20060817				JP 2004-558864					20021210			
PRIORIT	PRIORITY APPLN. INFO.:					WO 2002-IB5220								1	A 20021210			
OTHER SOURCE(S):					CASREACT 141:71449; MARPAT 141:71449									9				
GI																		

$$Ar \xrightarrow{R^{1}} W - C - X - Y - N \xrightarrow{(CH_{2})_{m}} N - R^{4}$$

$$R^{2} \xrightarrow{0} R_{3}$$

Title compds. I (Ar = aryl, heteroaryl, etc.; Rlcycloalkyl, cycloalkenyl, AB aryl, heteroaryl, etc.; R2 = H, OH, amino, alkoxy, alkenyloxy, alkynyloxy, carbamoyl, halo; W = (CH2)p; p = 0, 1; X = 0, S, amino, no atom; Y =(CHR5)qCO, R5 = H, Me; (CH2)q; q = 0-4; m = 0-2; R3 = H, alkyl, CO2Bu-t; R4 = H, alkyl, etc.) and their pharmaceutically acceptable salts are prepared The compds. of this invention can function as muscarinic receptor antagonists, and can be used for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to pharmaceutical compns. containing the compds. of the present invention and the methods for treating the diseases mediated through muscarinic receptors. IT712355-73-2P 712356-00-8P 712356-14-4P 712356-22-4P 712356-31-5P 712356-48-4P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 3,6-disubstituted azabicyclohexane derivs. as muscarinic receptor antagonists)

RN 712355-73-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 712356-00-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-4-methyl-N- {  $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-14-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-22-4 CAPLUS

CN Cyclooctaneacetamide,  $\alpha$ -hydroxy- $\alpha$ -phenyl-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-31-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-

$$[(1\alpha, 5\alpha, 6\alpha) - 3 - (4 - methyl - 3 - pentenyl) - 3 -$$
  
azabicyclo[3.1.0]hex-6-yl]-, (\alpha R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 712356-48-4 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclohexyl- $\alpha$ -methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 712355-74-3P 712355-75-4P 712355-78-7P 712355-79-8P 712355-80-1P 712355-81-2P 712355-85-6P 712355-88-9P 712355-91-4P 712355-92-5P 712355-99-2P 712356-02-0P 712356-05-3P 712356-07-5P 712356-15-5P 712356-16-6P 712356-17-7P 712356-18-8P 712356-19-9P 712356-20-2P 712356-32-6P 712356-35-9P 712356-36-0P 712356-37-1P 712356-38-2P 712356-39-3P 712356-40-6P 712356-41-7P 712356-42-8P 712356-43-9P 712356-44-0P 712356-45-1P 712356-46-2P 712357-04-5P 712357-05-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 3,6-disubstituted azabicyclohexane derivs. as muscarinic receptor antagonists) RN 712355-74-3 CAPLUS Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-CN [(3,5-difluorophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

RN 712355-75-4 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(4-bromophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-78-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(2,3-dihydro-5-benzofuranyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-79-8 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(1, 3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-80-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(2,3-dihydro-5-benzofuranyl)acetyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 712355-81-2 CAPLUS

CN Benzeneacetamide, N-[( $1\alpha$ ,  $5\alpha$ ,  $6\alpha$ )-3-[2-(5-benzofuranyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-85-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(2-propynyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-88-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-cyclopropyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 712355-91-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-92-5 CAPLUS

CN Benzeneacetamide, N-[( $1\alpha$ ,  $5\alpha$ ,  $6\alpha$ )-3-(1, 3-benzodioxol-5-ylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-99-2 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxamide, 6-[(cyclohexylhydroxyphenylacetyl)amino]-N-[(4-methylphenyl)sulfonyl]-,  $(1\alpha, 5\alpha, 6\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-02-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-4-phenoxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-05-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-4-(1,1-dimethylethyl)- $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-07-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-4-methoxy-N-[ $(1\alpha,5\alpha,6\alpha)$ -3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-15-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 712356-16-6 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-17-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(2-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-18-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[2-(2,3-dihydro-5-benzofuranyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-19-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(6-methyl-2-pyridinyl)methyl]-3-

Relative stereochemistry.

RN 712356-20-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclopentyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(2,3-dihydro-5-benzofuranyl)acetyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-32-6 CAPLUS

CN Benzeneacetamide, N-[( $1\alpha$ ,  $5\alpha$ ,  $6\alpha$ )-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 712356-35-9 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

RN 712356-36-0 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclohexyl-4-fluoro- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-37-1 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-38-2 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-4-methyl- (9CI) (CA INDEX NAME)

RN 712356-39-3 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclopentyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-40-6 CAPLUS

CN Cycloheptaneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -hydroxy- $\alpha$ -phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-41-7 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclobutyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-42-8 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -cyclopropyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-43-9 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-, 2-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-ylamino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-44-0 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-, 2-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-ylamino]-1-methyl-2-oxoethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-45-1 CAPLUS

CN Benzeneacetic acid, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -hydroxy-, 4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-yl[(1,1-dimethylethoxy)carbonyl]amino]butyl ester (9CI) (CA INDEX NAME)

RN 712356-46-2 CAPLUS

CN Benzeneacetic acid, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -propoxy-, 4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-azabicyclo[3.1.0]hex-6-yl[(1,1-dimethylethoxy)carbonyl]amino]butyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712357-04-5 CAPLUS

CN Benzeneacetamide, N- $(1\alpha, 5\alpha, 6\alpha)$ -3-azabicyclo[3.1.0]hex-6-yl- $\alpha$ -phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712357-05-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(2,6-difluorophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-64-4 CAPLUS
CN Benzeneacetamide,  $\alpha$ -cyclobutyl- $\alpha$ -hydroxy-N[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-66-6 CAPLUS CN Benzeneacetamide,  $\alpha$ -cyclopropyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

RN 712356-67-7 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-, 2-oxo-2-[[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712357-03-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Benzeneacetic acid, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -hydroxy-, 4-[[(1,1-dimethylethoxy)carbonyl][(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]butyl ester (9CI) (CA INDEX NAME)

RN 712355-67-4 CAPLUS

CN Benzeneacetic acid, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -propoxy-, 4-[[(1,1-dimethylethoxy)carbonyl][(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]butyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-97-0 CAPLUS

CN Benzoic acid,  $4-[[(1\alpha,5\alpha,6\alpha)-6-[(cyclohexylhydroxyphenylacetyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)$ 

Relative stereochemistry.

RN 712356-01-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-4-methoxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-03-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-4-fluoro- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-09-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -methoxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

TT 712355-52-7P 712355-53-8P 712355-54-9P 712355-55-0P 712355-56-1P 712355-57-2P 712355-58-3P 712355-59-4P 712355-60-7P 712355-61-8P 712355-62-9P 712355-63-0P 712355-64-1P 712355-65-2P 712355-68-5P 712355-69-6P 712355-70-9P 712355-71-0P 712355-72-1P 712355-76-5P 712355-77-6P 712355-82-3P 712355-83-4P 712355-84-5P

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712355-86-7P 712355-87-8P 712355-89-0P
     712355-90-3P 712355-93-6P 712355-94-7P
     712355-95-8P 712355-96-9P 712355-98-1P
     712356-04-2P 712356-06-4P 712356-08-6P
     712356-10-0P 712356-11-1P 712356-12-2P
     712356-13-3P 712356-21-3P 712356-23-5P
     712356-24-6P 712356-25-7P 712356-26-8P
     712356-27-9P 712356-28-0P 712356-29-1P
     712356-30-4P 712356-33-7P 712356-34-8P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (preparation of 3,6-disubstituted azabicyclohexane derivs. as muscarinic
        receptor antagonists)
RN
     712355-52-7 CAPLUS
     Benzeneacetamide, \alpha-hydroxy-\alpha-phenyl-N-
CN
     [(1\alpha, 5\alpha, 6\alpha) - 3 - (phenylmethyl) - 3 - azabicyclo[3.1.0]hex-6-
     yl]- (9CI) (CA INDEX NAME)
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Relative stereochemistry.

RN 712355-53-8 CAPLUS CN Benzeneacetamide, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-54-9 CAPLUS CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(2-propenyloxy)- (9CI) (CA INDEX NAME)

RN 712355-55-0 CAPLUS
CN Benzeneacetamide, 4-fluoro- $\alpha$ -(4-fluorophenyl)-N[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6yl]- $\alpha$ -(2-propenyloxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-56-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -propoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-57-2 CAPLUS

CN Benzeneacetamide, 4-fluoro- $\alpha$ -(4-fluorophenyl)-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -propoxy- (9CI) (CA INDEX NAME)

RN 712355-58-3 CAPLUS CN Benzeneacetamide,  $\alpha$ -phenyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(2-propynyloxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-59-4 CAPLUS CN 2-Furanacetamide,  $\alpha$ -2-furanyl- $\alpha$ -hydroxy-N[ $(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-60-7 CAPLUS CN 2-Thiopheneacetamide,  $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -2-thienyl- (9CI) (CA INDEX NAME)

RN 712355-61-8 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -hydroxy- $\alpha$ -phenyl-, 4-[[(1,1-dimethylethoxy)carbonyl][(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]butyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-62-9 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -hydroxy- $\alpha$ -phenyl-, 3-[[(1,1-dimethylethoxy)carbonyl][(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]propyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-63-0 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -propoxy-, 3-[[(1,1-dimethylethoxy)carbonyl][(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]propyl ester (9CI) (CA INDEX NAME)

RN 712355-64-1 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -propoxy-, 4-[[(1,1-dimethylethoxy)carbonyl][(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]butyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-65-2 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -(2-propenyloxy)-, 4-[[(1,1-dimethylethoxy)carbonyl][(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3- (phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]butyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-68-5 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -propoxy-, 2-oxo-2- [[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-69-6 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -(2-propenyloxy)-, 2-oxo-2-[[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3- azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

$$H_2C$$
 $Ph$ 
 $Ph$ 
 $Ph$ 
 $Ph$ 
 $Ph$ 

RN 712355-70-9 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -(2-propenyloxy)-, 3-oxo-3-[[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3- azabicyclo[3.1.0]hex-6-yl]amino]propyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-71-0 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -phenyl- $\alpha$ -propoxy-, 3-oxo-3- [[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]propyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-72-1 CAPLUS

CN Benzeneacetic acid, 4-fluoro- $\alpha$ -(4-fluorophenyl)- $\alpha$ -hydroxy-, 2-oxo-2- $[[(1\alpha, 5\alpha, 6\alpha)-3$ -(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-76-5 CAPLUS CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-([1,1'-biphenyl]-2-ylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-77-6 CAPLUS
CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N[ $(1\alpha, 5\alpha, 6\alpha)$ -3-(2-phenylethyl)-3-azabicyclo[3.1.0]hex-6yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-82-3 CAPLUS
CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-methyl-3-azabicyclo[3.1.0]hex-6-yl]- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

RN 712355-83-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-ethyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-84-5 CAPLUS CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-propyl-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-86-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(2-propenyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-87-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(1-methylethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-89-0 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-butyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-90-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(3-methyl-2-butenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-93-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(6,6-dimethyl-2,4-heptadiynyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

HO Ph H R S 
$$C = C - C = C - Bu-t$$

RN 712355-94-7 CAPLUS

CN Benzeneacetamide, N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-benzoyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclohexyl- $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-95-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(5-formyl-2-furanyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -hydroxy-

Relative stereochemistry.

RN 712355-96-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-[(phenylamino)thioxomethyl]-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712355-98-1 CAPLUS

CN Benzoic acid,  $4-[[(1\alpha, 5\alpha, 6\alpha)-6-[(cyclohexylhydroxyphenylacetyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)$ 

Relative stereochemistry.

RN 712356-04-2 CAPLUS

CN 1,3-Benzodioxole-5-acetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6- yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-06-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-4-methyl-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 712356-08-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-4-fluoro- $\alpha$ -hydroxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-10-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-ethyl-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-11-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(l $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -(2-propenyloxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-12-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -methoxy-N- [ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3- azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-13-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-[(2,4-difluorophenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -methoxy-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-21-3 CAPLUS

CN Benzeneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[2-(5-benzofuranyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -cyclopentyl-

 $\alpha$ -hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-23-5 CAPLUS

CN Cyclooctaneacetamide,  $\alpha$ -hydroxy-N-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]- $\alpha$ -phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-24-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N-[1-methyl-2-oxo-2-[[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-25-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N-[2-oxo-2-[[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-26-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-N-[3-oxo-3-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-27-9 CAPLUS

CN Benzenepropanamide,  $\beta$ -cyclohexyl- $\beta$ -hydroxy-N- [(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-28-0 CAPLUS

CN Benzenepropanamide,  $\beta$ -cyclohexyl- $\beta$ -hydroxy-N-[2-oxo-2-[[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-29-1 CAPLUS

CN Benzenepropanamide,  $\beta$ -cyclohexyl- $\beta$ -hydroxy-N-[1-methyl-2-oxo-2-[[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-

yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-30-4 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -cyclohexyl- $\alpha$ -hydroxy-, 1-methyl-2-oxo-2-[[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 712356-33-7 CAPLUS.

CN Butanedioic acid, compd. with  $(\alpha R) - \alpha - \text{cyclopentyl} - \alpha - \text{hydroxy-N-[(1$\alpha$, 5$\alpha$, 6$\alpha$) - 3 - (4-methyl-3-pentenyl) - 3 - azabicyclo[3.1.0]hex-6-yl]benzeneacetamide (1:1) (9CI) (CA INDEX NAME)$ 

CM 1

CRN 712356-31-5 CMF C24 H34 N2 O2

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-15-6 CMF C4 H6 O4

 $HO_2C-CH_2-CH_2-CO_2H$ 

RN 712356-34-8 CAPLUS

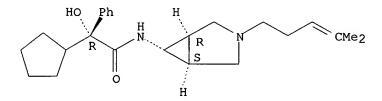
CN Benzeneacetamide,  $\alpha$ -cyclopentyl- $\alpha$ -hydroxy-N-

[ $(1\alpha, 5\alpha, 6\alpha)$ -3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-,  $(\alpha R)$ -, (2R, 3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 712356-31-5 CMF C24 H34 N2 O2

Absolute stereochemistry. Rotation (+).



CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

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ACCESSION NUMBER:

2003:492705 CAPLUS

DOCUMENT NUMBER:

139:69253

TITLE:

Preparation of phenyl oxazolidinone derivatives as

potential antimicrobials

INVENTOR(S):

Mehta, Anita; Arora, Sudershan K.; Das, Biswajit; Ray,

Abhijit; Rudra, Sonali; Rattan, Ashok

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

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Ser. No. 906,215.

CODEN: USXXCO

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Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	AP	PLICATION NO.		DATE
US 2003119817	A1	20030626	US	 2002-51784	-	20020117
US 6956040	B2	20051018				
US 2002103186	A1	20020801	US	2001-906215		20010716
US 6734307	B2	20040511				
PRIORITY APPLN. INFO.:			US	2001-906215	A2	20010716
			IN	2000-DE654	Α	20000717
CHILDS - COLD ( )		100 50050				

OTHER SOURCE(S):

CASREACT 139:69253; MARPAT 139:69253

GI

AB Substituted Ph oxazolidinones, e.g. of formula I [T = heterocyclic ring, aryl; R = alkyl, halo, CN, CHO, NH2, NO2, etc.; X = CH, CH-S, CH-O, N; Y, Z = H, alkyl, cycloalkyl, bridging group; U, V = alkyl, F, CL, Br, etc.; W = CH2, CO, CH2NH, etc.; R1 = NHCHR2, NR2CSR2; R2 = H, alkyl, cycloalkyl, alkoxy, etc.], are prepared This invention also relates to pharmaceutical compns. containing the compds. of the present invention as antimicrobials. The compds. are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. Thus, II was prepared and showed antibacterial activity against several strains.

IT 392659-92-6P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of Ph oxazolidinone derivs. as antibacterial agents)

RN 392659-92-6 CAPLUS

2-Thiopheneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-3-azabicyclo[3.1.0]hex-6-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

43

2002:777935 CAPLUS ACCESSION NUMBER: 137:294960 DOCUMENT NUMBER: Preparation of 3-azolylpropanohydroxamic acids as TITLE: procollagen c-proteinase (PCP) inhibitors Bailey, Simon; Fish, Paul Vincent; James, Kim; INVENTOR(S): Whitlock, Gavin Alistair Pfizer Limited, UK; Pfizer Inc. PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 209 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. WO 2002079200 A1 20021010 WO 2002-IB699 20020308 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20021010 CA 2002-2442481 CA 2442481 AA 20020308 EP 1373264 A1 20040102 EP 2002-713078 20020308 EP 1373264 В1 20041222 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR Α 20040420 BR 2002-8499 BR 2002008499 20020308 Т2 JP 2004524365 20040812 JP 2002-577825 20020308 E 20050115 AT 285409 AT 2002-713078 20020308 Т3 20050601 ES 2002-2713078 20020308 ES 2232740 T3 20050601 A1 20030410 B2 20041123 US 2002-112338 20020329 US 2003069291 US 6821972

OTHER SOURCE(S): MARPAT 137:294960

AB HONHCOCH2CH(XR)QY [X = (fluoro-substituted) alkylene, alkenylene; R = (fluoro-substituted) aryl, cycloalkyl, cycloalkenyl; Q = oxazolyl, oxadiazolyl; Y = mono- or bicyclic unsatd. (substituted) (hetero)cyclyl], were prepared Thus, (3R)-6-cyclohexyl-3-[3-(2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinyl)-1,2,4-oxadiazol-5-yl]hexanoic acid (preparation given) in THF was treated with Et3N, iso-Bu chloroformate, and O-trimethylsilylhydroxylamine at 0° followed by stirring at room temperature to give (3R)-6-cyclohexyl-3-[3-(2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinyl)-1,2,4-oxadiazol-5-yl]-N-hydroxyhexanamide. Tested title compds. inhibited PCP with IC50≤1 μM.

IT 468733-18-8P 468733-19-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-azolylpropanohydroxamic acids as procollagen c-proteinase inhibitors)

GB 2001-8102

WO 2002-IB699

US 2001-293379P

A 20010330

P 20010524

W 20020308

RN 468733-18-8 CAPLUS

PRIORITY APPLN. INFO.:

CN Carbamic acid, [3-[5-[(1R)-4-cyclohexyl-1-[2-(hydroxyamino)-2-oxoethyl]butyl]-1,2,4-oxadiazol-3-yl]-2-pyridinyl]-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 468733-19-9 CAPLUS

CN 1,2,4-Oxadiazole-5-propanamide, 3-[6-(6-amino-3-azabicyclo[3.1.0]hex-3-yl)-3-pyridinyl]-β-(3-cyclohexylpropyl)-N-hydroxy-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 468733-20-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-azolylpropanohydroxamic acids as procollagen c-proteinase inhibitors)

RN 468733-20-2 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid,  $\beta$ -(3-cyclohexylpropyl)-3-[6-[6-[(1,1-dimethylethoxy)carbonyl]amino]-3-azabicyclo[3.1.0]hex-3-yl]-3-pyridinyl]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:72093 CAPLUS

DOCUMENT NUMBER: 136:134748

TITLE: Oxazolidinone derivatives as antimicrobials

INVENTOR(S): Mehta, Anita; Arora, Sudershan K.; Das, Biswajit; Ray,

Abhijit; Rudra, Sonali; Rattan, Ashok

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

PCT Int. Appl., 126 pp. CODEN: PIXXD2 SOURCE:

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIN	D	DATE			APPI	ICAT	ION	NO.		D	ATE		
WO	2002	0062	 78		A1	-	2002	0124		 WO 2	001-	IB12	 62		0010	716	
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		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG		
IN	1935	50			Α		2004	0724		IN 2	000-	DE65	4		2	0000	717
CA	2415	965			AA		2002	0124		CA 2	001-	2415	965		2	0010	716
ΑU	2001	0693	70		A5		2002	0130		AU 2	001-	6937	0		2	0010	716
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	2004		21		Т2		2004				002-					0010	
	5237				Α		2004				2001-					0010	
WO	2003				A1		2003				2002-					0020	
	W:	ΑE,	ΑG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
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WO	2003	0078	70		A2		2003	0130		WO 2	2002-	IB16	09		2	0020	510
WO	2003	0078	70		<b>A</b> 3		2003	0530									
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ΕP	1409	465			A2		2004	0421		EP 2	2002-	7278	69		2	0020	510
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI.	LU,	NL,	SE,	MC,	PT,
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7. A	2003			/	Α		2003				2003-	471			2	0030	117
	2003				A1								ns.			0030	
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	2004				A1		2004	ΤΖΙΌ			2004-					0040	
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											2001-					0010	
											2002-			1		0020	
										WO 2	2002-	IB16	09	1	W 2	0020	510

MARPAT 136:134748

OTHER SOURCE(S):

Oxazolidinones I [T = 5-7-membered heterocyclic ring, aryl; R = CN, acyl, AB (un) substituted CO2H, NH2, CONH2, alkyl, CH2CH: NOH, CH: CH2, NO2; X = CH, CHS, CHO, N; Y, Z = H, alkyl, cycloalkyl, CO-3 bridging group; U, V =(un) substituted alkyl, H, F, Cl, Br; W = CH2, CO, CH2NH, NHCH2, (un) substituted CH2NHCH2, S, CH2CO, NH; R1 = acylamino, (un) substituted NH2, NHCSR2, NHCS2R2; R2 = H, (un)substituted alkyl, cycloalkyl, alkoxy; n = 0-3] were prepared The compds. are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. Thus, the furoyl derivative II was prepared from the 4-unsubstituted piperdine fragment and furoyl chloride. II had min. inhibitory concns. against methicillin-resistant Staph. aureus 15187 and against Enteroccus fecalis 29212 of 2 μg/mL.

IT 392659-92-6P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azacycloalkylphenyloxazolidinones as antimicrobials)

RN 392659-92-6 CAPLUS

2-Thiopheneacetamide, N-[ $(1\alpha, 5\alpha, 6\alpha)$ -3-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-3-azabicyclo[3.1.0]hex-6-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:489375 CAPLUS

DOCUMENT NUMBER:

135:92552

TITLE:

New azabicyclooctane derivatives useful in the

treatment of cardiac arrhythmias

INVENTOR(S):

Bjoersne, Magnus; Ponten, Fritiof; Strandlund, Gert;

Svensson, Peder

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.

SOURCE:

PCT Int. Appl., 126 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

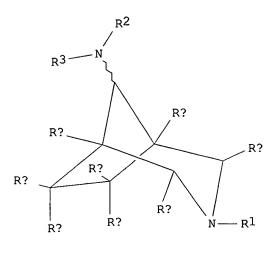
English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

I	PATENT NO.							DATE				ICAT					20001219 A, CH, CN, H, GM, HR, R, LS, LT, T, RO, RU, S, UZ, VN, E, CH, CY, E, TR, BF, G 20001219 20001219 20001219 20001219 20001219 20001219 20001219 20001219		
7																	0001	219	
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ΕE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	
			HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	
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		RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	G₩,	ML,	MR,	ΝE,	SN,	TD,	ΤG			
													20001219						
	AU 2001025659 A5 20010709 AU AU 777069 B2 20040930					AU 2	001-	2565	9		2	0001	219						
Ĩ	ΔU	7770	69			B2		2004	0930										
1	EΡ	1244	631			<b>A</b> 1		2002	1002		EP 2	000-	9891	14		2	0001	219	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
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1	BR	2000	0166	78		Α		2002	1015		BR 2	000-	1667	8		2	0001	219	
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1	ΝZ	5194	97			Α		2004	0227		NZ 2	000-	5194	97		2	20001	219	
3	RU	2262	505			C2		2005	1020			002-				_	20001	219	
1	US	2002	1377	66		<b>A</b> 1		2002	0926	,	US 2	001-	7638	92		2	0010	228	
Ī	US	JS 6559162 B2 20030506																	
	ZA	2002	0043	10		Α		2003	0829			002-					0020	529	
3	ON	2002	0030	38		Α		2002	0822		NO 2	002-	3038			2	0020	621	
PRIOR	ORITY APPLN. INFO.:				.:												9991	223	
											WO 2	000-	SE26	04	1	W 2	20001	219	



Ι

Title compds. I wherein the wavy bond represents optional endo- or exo-stereochem. and wherein R1, R2, R3 and Ra to Rh represent meanings which are exemplified by the example: tert-Bu 8-[[3-(4-cyanoanilino)propyl] (methyl)amino]-3-azabicyclo-[3.2.1]octane-3-carboxylate (II) and which are useful in the prophylaxis and in the treatment of arrhythmias, in particular atrial and ventricular arrhythmias,. A process for the preparation of compds. of formula I and intermediate compds. which comprises combining [[[-azabicyclo[3.2.1]octyl(methyl)amino]propyl]amino]benzonitrile with di-tert-Bu dicarbonate in dichloromethane. E.g., 4-[[3-[3-azabicyclo[3.2.1]oct-8-yl(methyl)amino]propyl]amino]benzonitrile reacts with di-tert-Bu dicarbonate in dichloromethane at 25° to give II in 40% yield.

IT 349448-49-3P 349448-54-0P 349448-57-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use in the treatment of cardiac arrhythmias)

RN 349448-49-3 CAPLUS

CN 4-Imidazolidineacetamide, N-[3-[3-[(4-cyanophenyl)amino]propyl]-3-azabicyclo[3.2.1]oct-8-yl]-N-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)

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RN 349448-54-0 CAPLUS

CN 4-Imidazolidineacetamide, N-[3-[3-[(4-cyanophenyl)sulfonyl]propyl]-3-azabicyclo[3.2.1]oct-8-yl]-N-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)

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RN 349448-57-3 CAPLUS

CN 4-Imidazolidineacetamide, N-[3-[2-(4-cyanophenoxy)ethyl]-3-azabicyclo[3.2.1]oct-8-yl]-N-methyl-2,5-dioxo-(9CI) (CA INDEX NAME)

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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:394325 CAPLUS

DOCUMENT NUMBER: 129:67702

TITLE: Preparation of 6-phenylpyridyl-2-amines as NOS

inhibitors

INVENTOR(S): Lowe, John Adams III

PATENT ASSIGNEE(S): Pfizer Inc., USA; Lowe, John Adams III

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.						DATE			APPL	ICAT	ION :	NO.		D	ATE		
WO	9824						1998	0611	,	wo 1	997-	IB14	46		1	9971	117	
	W:	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU
	RW:	GH,	KE,	LS,	MW,	SD,	SZ,	ŬĠ,	ZW,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	
		GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	
		GN,	ML,	MR,	ΝE,	SN,	TD,	TG										
	2273									CA 1	997-	2273	479		1	9971	117	
	2273																	
AU	9747	917			A1		1998	0629		AU 1	997-	4791	7		1	9971	117	
	9465									EP 1	997-	9105	87		1	9971	117	
EΡ	9465	12			В1		2003	1008										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	·
					FI,													
CN	1239	952			Α		1999	1229		CN 1	997-	1803	50		1	9971	117	
CN	1117				В		2003											
BR	9714	381			Α		2000	0502		BR 1	997-	1438	1		1	9971	117	
JP	JP 2000505814 T2 2000051			0516		JP 1	998-	5253	97		1	9971	117					
JP	3604	399			B2		2004											
ΝZ	3357	33			Α		2001	0126		NZ 1	997-	3357	33		1	9971	117	

ΑТ	251612	E	20031015	ΑТ	1997-910587		19971117
*	946512	T	20040130		1997-910587		19971117
	2206691	т3	20040516		1997-910587		19971117
	293863	В6	20040818		1999-2017		19971117
	491840	В	20020621		1997-86117501		19971122
	9710906	Ā	19990609	ZA	1997-10906		19971204
AP	848	A	20000609	AP	1997-1156		19971204
	W: BW, GM, KE,						
US	6235750	в1	20010522	US	1999-325480		19990603
ИО	9902725	Α	19990604	ИО	1999-2725		19990604
ИО	313517	В1	20021014				
KR	2000057413	Α	20000915	KR	1999-704981		19990604
BG	103540	Α	20001229	BG	1999-103540		19990630
US	6333186	B1	20011225	US	2000-478479		20000106
US	2002032191	A1	20020314	US	2001-802086		20010308
AU	2001072050	<b>A</b> 5	20011115	ΑU	2001-72050		20010913
AU	766080	B2	20031009				
US	2002103227	A1	20020801	US	2001-965564		20010927
US	2002106642	A1	20020808	US	2001-965594		20010927
US	6800456	B2	20041005				
US	2004142924	A1	20040722	US	2003-678369		20031003
JP	2005170924	A2	20050630	JP	2004-186311		20040624
PRIORITY	APPLN. INFO.:			US	1996-32793P	P	19961206
					1997-47917		19971117
					1998-525397		19971117
					1997-IB1446	W	19971117
					1999-325480		19990603
					2000-478479		20000106
					2001-802086		20010308
				US	2001-965594	<b>A</b> 1	20010927

OTHER SOURCE(S): GI

MARPAT 129:67702

II

IV

Ι

AB The title compds. [I; G = II, III (n = 0, 1; Y = NR3R4, C1-6 alkyl, (un) substituted aralkyl; X = N when Y = (un) substituted C1-6 alkyl, aralkyl, and X = CH when Y = NR3R4; q = 0-2; m = 0-2; R3, R4 = C1-6 alkyl, tetrahydronaphthalene and aralkyl; NR3R4 = piperazino, pyrrolidino, etc.)]

and their salts, which exhibit activity as nitric oxide synthase (NOS) inhibitors and as such useful in the treatment and prevention of central nervous system and other disorders, were prepared Thus, e.g., the detailed 7-step synthesis of the title compound IV is described. Of 100 compds. I that were tested, all exhibited an IC50 of < 10  $\mu M$  for inhibition of either inducible or neuronal NOS.

IT 208837-50-7P 208837-52-9P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 6-phenylpyridyl-2-amines as NOS inhibitors)

RN 208837-50-7 CAPLUS

3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-[[[4'-[6-(2,5-dimethyl-1H-CN pyrrol-1-yl)-2-pyridinyl][1,1'-biphenyl]-4-yl]acetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

208837-52-9 CAPLUS RN

[1,1'-Biphenyl]-4-acetamide, 4'-(6-amino-2-pyridinyl)-N-3-CN azabicyclo[3.1.0]hex-6-yl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2006 ACS on STN T.4 ANSWER 17 OF 18

3

ACCESSION NUMBER:

1997:679059 CAPLUS

DOCUMENT NUMBER:

127:346302

TITLE:

6-phenylpyridyl-2-amine derivatives as nitric oxide

synthase inhibitors

INVENTOR(S):

Lowe, John Adams, III; Whittle, Peter John

PATENT ASSIGNEE(S):

Pfizer Inc., USA; Lowe, John Adams, III; Whittle,

Peter John

SOURCE:

PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	'ENT	NO.			KIN	D	DATE		j	APPL	ICAT:	ION I	NO.		D	ATE		
WO 9736871			A1	-	1997	71009 WO 1997-IB132						19	19970217						
		W:	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
			DK,	EE,	ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ.	TM,	TR.	TT.	UA.	UG.	US.	UZ.	VN,	YU

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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
               IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
               MR, NE, SN, TD, TG
      CA 2250372
                              С
                                      19971009
                                                    CA 1997-2250372
                                                                                 19970217
                        AA
A1
B2
A1
B1
     CA 2250372
                                      19971009
     AU 9715548
                                      19971022
                                                  AU 1997-15548
                                                                                 19970217
     AU 729129
                                      20010125
                                                 EP 1997-901748
                                                                                19970217
     EP 891332
                                      19990120
     EP 891332
                              В1
                                      20040317
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
               SI, LV, FI, RO
     19970217
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     CN 1546470 A 20041117
NZ 500927 A 20041126
TW 438793 B 20010607
US 6235747 B1 20001231
HR 970174 A1 20001231
HR 970174 B1 20020630
ZA 9702689 A 19980928
NO 9804516 A 19980928
NO 312460 B1 20020513
KR 2000005127 A 20000125
BG 64310 B1 20040930
HK 1018780 A1 20050304
US 2001034348 A1 20011025
US 6465491 B2 20021015
RITY APPLN. INFO.:
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A
     CN 1546470
                                      20041117
                                                    CN 2004-10005825
                                                                                19970217
                                      20041126 NZ 1997-500927
                                                                                19970217
                                      20010607 TW 1997-86101888
                                                                                19970218
                                                    US 1997-816235
                                                                                19970313
                                      20001231
                                                    HR 1997-970174
                                                                                19970326
                                                                                19970327
                                                  ZA 1997-2689
                                      19980928
                                                    NO 1998-4516
                                                                                19980928
                                                                                19980929
                                                     KR 1998-707773
                                                                                19981027
                                                     BG 1998-102872
                                                     нк 1999-103798
                                                                                 19990902
                                                     US 2001-826132
                                                                                 20010404
                                                     US 1996-14343P P 19960329
EP 1997-901748 A3 19970217
WO 1997-IB132 W 19970217
US 1997-816235 A3 19970313
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 127:346302
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GI

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$$\begin{array}{c} R^{7} \\ R^{5} \\ R^{1}R^{2}N \left(\text{CHR}^{3}\right)_{n} \left(\text{CHR}^{4}\right)_{m} \end{array}$$

AB Title compds. I [NR1R2 = amino; R3, R4 = H, alkyl, aralkyl; R5, R6 = Me, OMe, OH, H; R7 = alkyl; m, n = 1-2] were prepared and exhibit activity as nitric oxide synthase (NOS) inhibitors for use in the treatment and prevention of central nervous system disorders (no data). Thus, the amine II was prepared from 2,6-dibromopyridine and 4-H2NC6H4CH2CH2OH via 2-(2,5-dimethylpyrrol-1-yl)-6-[4-(2-chloroethyl)phenyl]pyridine.

IT 198210-03-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoethylphenylpyridylamines as nitric oxide synthase inhibitors)

RN 198210-03-6 CAPLUS

CN Benzeneacetamide, N-[3-[2-[4-(6-amino-2-pyridinyl)phenyl]ethyl]-3-azabicyclo[3.1.0]hex-6-yl]-4-fluoro-(9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:517227 CAPLUS

DOCUMENT NUMBER: 119:117227

TITLE: Preparation of azabicycloalkylquinolones and

-naphthyridinones as antibacterials

INVENTOR(S):
Brighty, Katherine E.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 551,212,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5164402	Α	19921117	US 1991-650835	19910204

US 5229396	Α	19930720	US	1992-919477		19920724
US 5266569	Α	19931130	US	1993-12202		19930202
us 5391763	Α	19950221	US	1993-88999		19930826
PRIORITY APPLN. INFO.:			US	1990-551212	B2	19900711
			US	1991-650835	A3	19910204
			US	1992-919477	A3	19920724
			US	1993-12202	A3	19930202

OTHER SOURCE(S): MARPAT 119:117227

R3

'n5

AB Title compds. [I; R1 = H, alkyl, pharmaceutically acceptable cation; Y =
Et, Me3C, vinyl cyclopropyl, FCH2CH2, 4-FC6H4, 2,4-F2C6H34; W = F, Cl, Br,
alkyl, alkoxy, (methyl)amino; A = CH, CCl, C(OMe), CMe, CCN, N; AY = atoms
to form a (0-or double bond-containing) (substituted) 5-6 membered ring; R2 =
Q1, Q2; R3, R4, R5, R6, R7, R9 = H, Me, CH2NH2, CH2NHMe, CH2NHEt; R5, R6,
R1, R9 may also = NH2, NHMe, NHEt; ≤3 of R3, R4, R6, R7, R9, R10,
R25 ≠ H; if 3 of these ≠ H, ≥1 of them = Me], were
prepared as antibacterials (no data). Thus, 3-azabicyclo[3.1.0]hexane
hydrochloride was heated with 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4oxoquinolinecarboxylic acid and Et3N in MgSO to give title compound II.
IT 146961-74-2P

ΙI

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antibacterial)

RN 146961-74-2 CAPLUS

CN L-Phenylalaninamide, glycyl-N-[3-[6-carboxy-8-(2,4-difluorophenyl)-3-fluoro-5,8-dihydro-5-oxo-1,8-naphthyridin-2-yl]-3-azabicyclo[3.1.0]hex-6-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

IT 146655-53-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for antibacterial)

RN 146655-53-0 CAPLUS

CN L-Phenylalaninamide, N-[(phenylmethoxy)carbonyl]glycyl-N-[3-[6-carboxy-8-(2,4-difluorophenyl)-3-fluoro-5,8-dihydro-5-oxo-1,8-naphthyridin-2-yl]-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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(FILE 'HOME' ENTERED AT 09:57:52 ON 15 SEP 2006)

FILE 'REGISTRY' ENTERED AT 09:58:09 ON 15 SEP 2006

L1 STRUCTURE UPLOADED

L2 10 S L1

L3 347 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:58:45 ON 15 SEP 2006 L4 18 S L3 FULL

=> log y		
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	ENTRY	SESSION
FULL ESTIMATED COST	95.20	262.35
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.50	-13.50

STN INTERNATIONAL LOGOFF AT 10:02:56 ON 15 SEP 2006